Kathler Fuller 45089
Access DB#_____

SEARCH REQUEST FORM

Requester's Full Name: Requester's Full Name: Requester's Full Name: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Format Preferred (circle): PAPER DISK Full Mail Box and Bldg/Room Location: Results Full Mail Box and	****** hed.											
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If more than one search is submitted, please prioritize searches in order of need.	ept or											

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be search Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concutility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. known. Please attach a copy of the cover sheet, pertinent claims, and abstract.	c, 1f											
Title of Invention:												
Inventors (please provide full names):	<u> </u>											
Earliest Priority Filing Date: 6/4/9												
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along w	ith the											
appropriate serial number.												
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please send compound of formula I (cl 6) to grow hair, treat alopecia												
also provide structures of each compound of	.											
Clam 8												
Marks Rebeux												
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Date Searcher Picked Up: Bibliographic Dr.Link	_											
Date Completed: 6/26/0/ Litigation Lexis/Nexis												
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Clerical Prep Time: Patent Family WWW/Internet												

PTO-1590 (1-2000)

=> file reg

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TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

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L6 STR

C<u></u> CH2 @17 18

VAR G1=O/N
VAR G2=AK/CY
VAR G3=CH2/17/15
VAR G4=O/S
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 9
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC I NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L8 391 SEA FILE=REGISTRY SSS FUL L6
L9 165 SEA FILE=HCAPLUS ABB=ON L8

L10 12 SEA FILE=HCAPLUS ABB=ON L9 AND HAIR

=> s 19 and ?alope?

16864 ?ALOPE?

L11 12 L9 AND ?ALOPE?

=> s 110 or 111

L12 14 L10 OR L11

=> sel hit rn 112 1-14

E1 THROUGH E67 ASSIGNED

=> d 112 all 1-14 hitstr

L12 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2001 ACS

AN 2001:57226 HCAPLUS

DN 134:115847

TI Pyrrolidine derivatives, hair growth compositions containing them, and uses

IN Steiner, Joseph P.; Hamilton, Gregory S.

PA Gpi Nil Holdings, Inc., USA

SO U.S., 22 pp., Cont.-in-part of U.S. 5,945,441.

KATHLEEN FULLER EIC1700 308-4290

This query.

This query covers

all the compounds

in claim 8. If they

are not shown with

one of the CA references

then they are not

independ by CA.

14 CA references with how or 2 olopec?

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-40

NCL 514422000

CC 27-10 (Heterocyclic Compounds (One Hetero Atom)) Section cross-reference(s): 1, 34, 62, 63

FAN.CNT 6

L'AIM.	CIVI O										
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE						
ΡI	US 6177455	B1	20010123	US 1998-89415	19980603						
	US 5945441	Α	19990831	US 1997-869426	19970604						
	ZA 9804621	Α	19981204	ZA 1998-4621	19980529						
	ZA 9804778	A	19981204	ZA 1998-4778	19980603						
	ZA 9804783	Α	19981204	ZA 1998-4783	19980603						
	US 6239164	B1	20010529	US 1999-369860	19990809						
PRAI	US 1997-869426	A2	19970604								
os	MARPAT 134:115847										
GI											

II

AΒ The invention discloses methods for treating alopecia and promoting hair growth using certain pyrrolidine derivs. In particular, methods involving compds. I [R1 = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, or Ar1; Ar1 = (un)substituted naphthyl, 2-indolyl, 3-indolyl, furyl, thienyl, pyridyl; X = 0, S, CH2, H2; Y = 0, NR2; R2 = H, alkyl; Z = (un)substituted alkyl or alkenyl, -CH(R3)COX2R4; R3 = alkyl (un) substituted by cycloalkyl or Ar1; X2 = O, NR5; R4 = Ph, CH2Ph, alkyl, alkenyl, phenylalk(en)yl; R5 = H, alk(en)yl] and related compds. are claimed. Approx. 30 synthesized examples are given, and use of these and addnl. members is claimed. For instance, L-proline Me ester HCl was amidated with Me oxalyl chloride (88%), subjected to Grignard reaction with EtMe2CMgCl (75%), hydrolyzed with LiOH in aq. MeOH (87%), and reesterified with PhCH2CH2CH2OH (80%), to give title compd. II. Exptl. application of I to shaved mice gave dramatic hair regrowth in comparison to controls, as demonstrated in attached photographs. A variety of lotions, shampoos, etc., are described. A lotion contained EtOH 80.0, invention compd. 10.0, .alpha.-tocopherol acetate 0.01, hardened castor oil-ethylene oxide adducts 0.5, and water 9.0% and perfume and dye qs.

ST hair growth pyrrolidine deriv prepn; alopecia

treatment proline deriv prepn

IT Proteins, specific or class

RL: BSU (Biological study, unclassified); BIOL (Biological study) (FKBP (FK 506-binding protein), compds. with affinity for FKBP-type immunophilins; prepn. and use of hair growth compns. contg. pyrrolidine derivs.)

IT Proteins, specific or class

RL: BSU (Biological study, unclassified); BIOL (Biological study) (FKBP-12 (FK 506-binding protein, 12,000-mol.-wt.), compds. with KATHLEEN FULLER EIC1700 308-4290

```
affinity for FKBP-type immunophilins; prepn. and use of hair
        growth compns. contg. pyrrolidine derivs.)
ΙT
     Immunophilins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (compds. with affinity for FKBP-type immunophilins; prepn. and use of
     hair growth compns. contg. pyrrolidine derivs.)
IT
     Hair preparations
        (growth stimulants; prepn. and use of hair growth compns.
        contg. pyrrolidine derivs.)
IT
     Hair preparations
        (lotions; prepn. and use of hair growth compns. contg.
       pyrrolidine derivs.)
IT
     Alopecia
        (prepn. and use of hair growth compns. contg. pyrrolidine
        derivs.)
IT
     20329-96-8P
                   30273-62-2P
                                 53560-26-2P
                                                139419-63-9P
                    186268-78-0P
     186268-77-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (intermediate; prepn. and use of hair growth compns. contq.
        pyrrolidine derivs.)
     186268-50-8P 186268-52-0P 186268-56-4P
TT
     186268-57-5P 186268-59-7P 186268-60-0P
     186268-62-2P 186268-63-3P 186268-64-4P
     186268-65-5P 186268-66-6P 186268-67-7P
     186268-68-8P 186268-69-9P 186268-70-2P
     186268-71-3P 186268-72-4P 186268-73-5P
     186268-74-6P 186268-75-7P 186268-76-8P
     186452-05-1P 186452-06-2P 186452-09-5P
     186452-10-8P 186452-11-9P 186452-12-0P
     186452-14-2P 186452-15-3P 186452-16-4P
     186452-17-5P 186452-18-6P 186452-19-7P
     186452-20-0P 186452-22-2P 186452-23-3P
     186452-25-5P 217308-36-6P 217308-37-7P
     217308-39-9P 217308-40-2P 217308-43-5P
     217308-44-6P 217308-48-0P
     RL: BAC (Biological activity or effector, except adverse); BUU (Biological
     use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. and use of hair growth compns. contg. pyrrolidine
        derivs.)
               122-97-4, 3-Phenyl-1-propanol
                                                2133-40-6
                                                            2605-67-6
ΙT
     86-81-7
     RL: RCT (Reactant)
        (starting material; prepn. and use of hair growth compns.
        contg. pyrrolidine derivs.)
RE.CNT
       89
RE
(1) Anon; DE 2505114 1976 HCAPLUS
(2) Anon; EP 12401 1980 HCAPLUS
(3) Anon; EP 48159 1982 HCAPLUS
(4) Anon; EP 50800 1982 HCAPLUS
(5) Anon; EP 73143 1983 HCAPLUS
(6) Anon; EP 88350 1983 HCAPLUS
(7) Anon; EP 196841 1986 HCAPLUS
(8) Anon; DE 3508251 1986 HCAPLUS
(9) Anon; EP 260118 1988 HCAPLUS
(10) Anon; WO 8800040 1988 HCAPLUS
(11) Anon; WO 8809789 1988 HCAPLUS
(12) Anon; EP 333174 1989 HCAPLUS
(13) Anon; WO 8906234 1989 HCAPLUS
(14) Anon; EP 0420707 1990 HCAPLUS
(15) Anon; EP 0471135 1990 HCAPLUS
(16) Anon; EP 352000 1990 HCAPLUS
(17) Anon; EP 378318 1990 HCAPLUS
(18) Anon; DE 3931051 1990 HCAPLUS
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(19) Anon; WO 9012805 1990 HCAPLUS (20) Anon; EP 0443983 1991 HCAPLUS (21) Anon; EP 0494005 1991 HCAPLUS (22) Anon; DE 4015255 1991 HCAPLUS (23) Anon; EP 405994 1991 HCAPLUS (24) Anon; EP 419049 1991 HCAPLUS (25) Anon; EP 423714 1991 HCAPLUS (26) Anon; WO 9104985 1991 HCAPLUS (27) Anon; WO 9113088 1991 HCAPLUS (28) Anon; JP 04149166 1992 HCAPLUS (29) Anon; EP 0519819 1992 HCAPLUS (30) Anon; GB 2247456 1992 HCAPLUS (31) Anon; EP 468339 1992 HCAPLUS (32) Anon; WO 9200278 1992 HCAPLUS (33) Anon; WO 9203472 1992 HCAPLUS (34) Anon; WO 9204370 1992 HCAPLUS (35) Anon; WO 9216501 1992 HCAPLUS (36) Anon; WO 9218478 1992 HCAPLUS (37) Anon; WO 9219593 1992 HCAPLUS (38) Anon; WO 9219745 1992 HCAPLUS (39) Anon; WO 9221313 1992 HCAPLUS (40) Anon; JP 05178824 1993 HCAPLUS (41) Anon; EP 564924 1993 HCAPLUS (42) Anon; EP 572365 1993 HCAPLUS (43) Anon; WO 9307269 1993 HCAPLUS (44) Anon; WO 9314072 1993 HCAPLUS (45) Anon; EP 652229 1995 HCAPLUS (46) Anon; EP 0823419 1997 HCAPLUS (47) Armistead; US 5192773 1993 HCAPLUS (48) Armistead; US 5330993 1994 HCAPLUS (49) Armistead; US 5516797 1996 HCAPLUS (50) Armistead; US 5620971 1997 HCAPLUS (51) Burbaum; US 5319098 1994 HCAPLUS (52) Burbaum; US 5424454 1995 HCAPLUS (53) Connell; US 5385918 1995 HCAPLUS (54) Davis; US 5292747 1994 HCAPLUS (55) Eberle; US 5284826 1994 HCAPLUS (56) Gavras; US 4574079 1986 HCAPLUS (57) Gold; US 4808573 1989 HCAPLUS (58) Gold; US 4818749 1989 HCAPLUS (59) Goule; US 5147877 1992 HCAPLUS (60) Goulet; US 5189042 1993 HCAPLUS (61) Goulet; US 5258389 1993 HCAPLUS (62) Goulet; US 5532248 1996 HCAPLUS (63) Hackl; US 5414083 1995 HCAPLUS (64) Hamilton; US 5614547 1997 HCAPLUS (65) Harris; US 4374829 1983 HCAPLUS (66) Hauer; US 5342625 1994 HCAPLUS (67) Hewitt; US 4996193 1991 HCAPLUS (68) Krapcho; US 4310461 1982 HCAPLUS (69) Krapcho; US 4390695 1983 HCAPLUS (70) Krapcho; US 4578474 1986 HCAPLUS (71) Luly; US 5457111 1995 HCAPLUS (72) Michnick; US 5470878 1995 HCAPLUS (73) Nelson; US 5385908 1995 HCAPLUS (74) Norton; US 5506228 1996 HCAPLUS (75) Ok; US 5208241 1993 HCAPLUS (76) Organ; US 5284877 1994 HCAPLUS (77) Procton; US 5472687 1995 HCAPLUS (78) Proctor; US 5714510 1998 HCAPLUS (79) Rinehart; US 5294603 1994 HCAPLUS (80) Rupprecht; US 5284840 1994 HCAPLUS (81) Schreiber; US 5447915 1995 HCAPLUS

(82) Shanklin; US 4593102 1986 HCAPLUS

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(83) Sharpe; US 5631017 1997
(84) Sharpe; US 5703088 1997 HCAPLUS
(85) Shimano; US 4531964 1985 HCAPLUS
(86) Skotnicki; US 5252579 1993 HCAPLUS
(87) Takeuchi; US 5359138 1994 HCAPLUS
(88) Winkley; US 4438031 1984 HCAPLUS
(89) Zelle; US 5543423 1996 HCAPLUS
IT
     186268-77-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (intermediate; prepn. and use of hair growth compns. contg.
        pyrrolidine derivs.)
RN
     186268-77-9 HCAPLUS
     L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI)
CN
     INDEX NAME)
```

Absolute stereochemistry.

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186268-50-8P 186268-52-0P 186268-56-4P
TT
     186268-57-5P 186268-59-7P 186268-60-0P
     186268-62-2P 186268-63-3P 186268-64-4P
     186268-65-5P 186268-66-6P 186268-67-7P
     186268-68-8P 186268-69-9P 186268-70-2P
     186268-71-3P 186268-72-4P 186268-73-5P
     186268-74-6P 186268-75-7P 186268-76-8P
     186452-05-1P 186452-06-2P 186452-09-5P
     186452-10-8P 186452-11-9P 186452-12-0P
     186452-14-2P 186452-15-3P 186452-16-4P
     186452-17-5P 186452-18-6P 186452-19-7P
     186452-20-0P 186452-22-2P 186452-23-3P
     186452-25-5P 217308-36-6P 217308-37-7P
     217308-39-9P 217308-40-2P 217308-43-5P
     217308-44-6P 217308-48-0P
     RL: BAC (Biological activity or effector, except adverse); BUU (Biological
     use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. and use of hair growth compns. contg. pyrrolidine
        derivs.)
RN
     186268-50-8
                  HCAPLUS
     L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, <math>3-phenylpropyl ester (9CI)
CN
     (CA INDEX NAME)
```

Absolute stereochemistry.

5+ compound of claim 8

3-phanyl-1-propyl (25)-1
(3,3-dimethyl-1 2-diofopentyl

-2-pyrolliaine carbofylate

RN 186268-52-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4,5-trimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-56-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-57-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-59-7 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R,2E)-1,3-diphenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-60-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-cyclohexyl-3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-62-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-(3,4-dichlorophenyl)-3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-63-3 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-64-4 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-65-5 HCAPLUS

CN L-Proline, 1-(2-furanyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-66-6 HCÁPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-67-7 HCAPLUS

CN L-Proline, 1-(oxo-2-thiazolylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-68-8 HCAPLUS

CN L-Proline, 1-(oxophenylacetyl)-, 3-phenylpropyl èster (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-69-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenyl-1-(3-phenylpropyl)butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-70-2 HCAPLUS

CN L-Proline, 1-(4-hydroxy-3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-71-3 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 186268-72-4 HCAPLUS

CN L-Leucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-73-5 HCAPLUS

CN Glycine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-2-phenyl-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-74-6 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenyl ester (9CI) (CA INDEX NAME)

RN 186268-75-7 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-76-8 HCAPLUS

CN L-Isoleucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-05-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1,3-benzodioxol-5-yl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-06-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2,5-dimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-10-8 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-11-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-12-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-14-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-15-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-16-4 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-17-5 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-18-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-19-7 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-20-0 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-22-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4-dichlorophenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-23-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1S,2E)-1-cyclohexyl-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186452-25-5 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-(3,3-dimethyl-1,2-dioxopentyl)-N-(3-phenylpropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 217308-36-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-phenyl-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 217308-37-7 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(3,4,5-trimethoxyphenyl)-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 217308-39-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(1,3-benzodioxol-5-yl)-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 217308-40-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-cyclohexyl-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 217308-43-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(2,5-dimethoxyphenyl)1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 217308-44-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 217308-48-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(3,4-dichlorophenyl)-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L12 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2001 ACS

AN 2001:25779 HCAPLUS

DN 134:86543

TI Preparation of pyridinyl N-acylprolinates and analogs as hair growth promoters

IN Steiner, Joseph P.; Hamilton, Gregory S.

PA GPI NIL Holding, Inc., USA

SO U.S., 24 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-44

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NCL
    514339000
     34-2 (Amino Acids, Peptides, and Proteins)
CC
     Section cross-reference(s): 27, 62
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                             DATE
                                           US 1998-89830
    US 6172087
                       В1
                            20010109
                                                             19980603
PT
    MARPAT 134:86543
OS
GI
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Title compds., e.g., RCOC(:W)NACHBCOXYZ [I;AB = atoms to complete an AB (un) substituted heterocyclic ring; R = (cyclo) alk(en) yl, (un) substituted (hetero)aryl; W = O, S, CH2, H2; X = O, NR1, S, CR1 (sic), CR1R3; R1, R3 = H, alk(en)yl, alkynyl, YZ; Y = bond, (un)substituted alk(en)ylene; Z = bond(N-oxido) pyridinyl, pyrimidinyl, (iso)quinolyl, etc.] were prepd. Thus, Me L-prolinate was B-acylated by ClCOCO2Me and the product treated with EtCMe2MgCl to give, in 3 addnl. steps, title compd. (S)-II. Hair growth activity of I was demonstrated.

STacylprolinate prepn hair growth promoter

Hair preparations ΙT

(growth stimulants; pyridinyl N-acylprolinates and analogs)

IT 186452-09-5P 251956-60-2P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridinyl N-acylprolinates and analogs as hair

growth promoters)

2577-48-2, L-Proline methyl ester 2859-67-8, 3-(3-Pyridinyl)-1-propanol IT 28276-08-6, 1,1-Dimethylpropylmagnesium chloride

RL: RCT (Reactant)

(prepn. of pyridinyl N-acylprolinates and analogs as hair growth promoters)

IT 139419-63-9P **186268-77-9P** 186268-78-0P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of pyridinyl N-acylprolinates and analogs as hair growth promoters)

RE.CNT 72

RE

- (1) Anon; DE 2505114 1976 HCAPLUS
- (2) Anon; EP 12401 1980 HCAPLUS
- (3) Anon; EP 48159 1982 HCAPLUS (4) Anon; EP 50800 1982 HCAPLUS
- (5) Anon; EP 73143 1983 HCAPLUS
- (6) Anon; EP 88350 1983 HCAPLUS
- (7) Anon; EP 196841 1986 HCAPLUS
- (8) Anon; DE 3508251 1986 HCAPLUS (9) Anon; EP 260118 1988 HCAPLUS
- (10) Anon; EP 333174 1989 HCAPLUS
- (11) Anon; EP 0420707 1990 HCAPLUS
- (12) Anon; EP 0471135 1990 HCAPLUS (13) Anon; EP 352000 1990 HCAPLUS
- (14) Anon; EP 378318 1990 HCAPLUS
- (15) Anon; DE 3931051 1990 HCAPLUS
- (16) Anon; EP 0443983 1991 HCAPLUS
- (17) Anon; EP 0494005 1991 HCAPLUS

(18) Anon; DE 4015255 1991 HCAPLUS (19) Anon; EP 405994 1991 HCAPLUS (20) Anon; EP 419049 1991 HCAPLUS (21) Anon; EP 423714 1991 HCAPLUS (22) Anon; EP 468339 1992 HCAPLUS (23) Armistead; US 5192773 1993 HCAPLUS (24) Armistead; US 5330993 1994 HCAPLUS (25) Armistead; US 5516797 1996 HCAPLUS (26) Armistead; US 5620971 1997 HCAPLUS (27) Birkenshaw, T; Bioorganic & Medicinal Chemistry Letters 1994, V4(21), P2501 (28) Burbaum; US 5319098 1994 HCAPLUS (29) Burbaum; US 5424454 1995 HCAPLUS (30) Caffrey, M; Bioorganic & Medicinal Chemistry Letters 1994, V4(21), P2507 **HCAPLUS** (31) Connell; US 5385918 1995 HCAPLUS (32) Davis; US 5292747 1994 HCAPLUS (33) Eberle; US 5284826 1994 HCAPLUS (34) Gavras; US 4574079 1986 HCAPLUS (35) Gold; US 4808573 1989 HCAPLUS (36) Gold; US 4818749 1989 HCAPLUS (37) Goulet; US 5147877 1992 HCAPLUS (38) Goulet; US 5189042 1993 HCAPLUS (39) Goulet; US 5258389 1993 HCAPLUS (40) Goulet; US 5532248 1996 HCAPLUS (41) Hackl; US 5414083 1995 HCAPLUS (42) Hamilton; US 5614547 1997 HCAPLUS (43) Harris; US 4374829 1983 HCAPLUS (44) Hauer; US 5342625 1994 HCAPLUS (45) Hauske, J; J of Medicinal Chemistry 1992, V35, P4284 HCAPLUS (46) Hewitt; US 4996193 1991 HCAPLUS (47) Holt, D; Bioorganic & Medicinal Chemistry Letter 1993, V3(10), P1977 **HCAPLUS** (48) Holt, D; Bioorganic & Medicinal Chemistry Letters 1994, V4(2), P315 **HCAPLUS** (49) Holt, D; J Am Chem Soc 1993, V115, P9925 HCAPLUS (50) Krapcho; US 4310461 1982 HCAPLUS (51) Krapcho; US 4390695 1983 HCAPLUS (52) Krapcho; US 4578474 1986 HCAPLUS (53) Luly; US 5457111 1995 HCAPLUS (54) Maurer, M; Am J Path 1997, V150, P1433 HCAPLUS (55) Michnick; US 5470878 1995 HCAPLUS (56) Nelson; US 5385908 1995 HCAPLUS (57) Norton; US 5506228 1996 HCAPLUS (58) Ok; US 5208241 1993 HCAPLUS (59) Organ; US 5284877 1994 HCAPLUS (60) Proctor; US 5472687 1995 HCAPLUS (61) Proctor; US 5714510 1998 HCAPLUS (62) Rinehart; US 5294603 1994 HCAPLUS (63) Rupprecht; US 5284840 1994 HCAPLUS (64) Schreiber; US 5447915 1995 HCAPLUS (65) Shanklin; US 4593102 1986 HCAPLUS (66) Sharpe; US 5631017 1997 (67) Sharpe; US 5703088 1997 HCAPLUS (68) Shimano; US 4531964 1985 HCAPLUS (69) Skotnicki; US 5252579 1993 HCAPLUS (70) Takeuchi; US 5359138 1994 HCAPLUS (71) Winkley; US 4438031 1984 HCAPLUS (72) Zelle; US 5543423 1996 HCAPLUS ΙT 186452-09-5P 251956-60-2P RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridinyl N-acylprolinates and analogs as hair growth promoters)

KATHLEEN FULLER EIC1700 308-4290

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251956-60-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 186268-77-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of pyridinyl N-acylprolinates and analogs as hair
 growth promoters)

RN 186268-77-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:384175 HCAPLUS

DN 133:30959

TI Preparation of prolinylalkanediones and related compounds for treating neurological disease, vision disorders, and alopecia.

IN Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-qian KATHLEEN FULLER EIC1700 308-4290

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PA
      GPI Nil Holdings, Inc., USA; Amgen, Inc.
SO
      PCT Int. Appl., 166 pp.
      CODEN: PIXXD2
DT
      Patent
LA
      English
      ICM
            C07D403-04
IC
            C07D409-12; A61P017-14; A61K031-40; C07D413-04; A61P025-28;
            A61K031-41; C07D207-09; A61P027-00; A61K031-42; C07D403-06;
            A61K031-50; C07D211-34; C07D207-16; C07D405-12
CC
      34-2 (Amino Acids, Peptides, and Proteins)
      Section cross-reference(s): 1
FAN.CNT 1
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      PATENT NO.
                                  DATE
                                                                          DATE
PΙ
      WO 2000032588
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                                                                          19991203
                            A3
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                AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
                CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-204237
                            Α
                                   19981203
      US 1999-453571
                            Α
                                   19991202
      MARPAT 133:30959
OS
GΙ
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$$0 \downarrow N \qquad DR^2$$

$$0 \downarrow X \qquad I$$

AB Title compds. [I; n = 1-3; X = 0, S; R1 = (substituted) alkyl, alkenyl, aryl, heteroaryl, carbocyclyl, heterocyclyl; D = bond, (substituted) alkyl, alkenyl, alkynyl; R2 = CO2H, (substituted) CO2H isostere], were prepd. Thus, L-proline Me ester hydrochloride in CH2Cl2 was treated with Et3N and then with MeO2CCOCl to give 88% Me (2S)-1-(1,2-dioxo-2-methoxyethyl)-2-pyrrolidinecarboxylate. The latter in THF at -78.degree. was treated with 1,1-dimethylpropylmagnesium chloride followed by 3 h stirring at -78.degree. to give 75% Me (2S)-1-(1,2-dioxo-3,3-dimethylpentyl)-2-pyrrolidinecarboxylate. This was stirred overnight with aq. LiOH in MeOH to give 87% (2S)-1-(1,2-dioxo-3,3-dimethylpentyl)-2-pyrrolidinecarboxylic acid. In the MPTP model of Parkinson's disease in mice, I at 10 mg/kg orally gave 10.4-46.5% recovery of TH-stained dopaminergic neurons.

ST prolinylalkanedione prepn neurol disease vision disorder alopecia treatment; memory disorder treatment prolinylalkanedione prepn

IT Nervous system

(Huntington's chorea, treatment; prepn. of prolinylalkanediones and related compds. for treating neurol. disease, vision disorders, and alopecia)

IT Nervous system

(amyotrophic lateral sclerosis, treatment; prepn. of prolinylalkanediones and related compds. for treating neurol. disease, KATHLEEN FULLER EIC1700 308-4290

```
vision disorders, and alopecia)
ΙT
     Nervous system
        (disease, treatment; prepn. of prolinylalkanediones and related compds.
        for treating neurol. disease, vision disorders, and alopecia)
IT
     Memory, biological
     Vision
        (disorder, treatment; prepn. of prolinylalkanediones and related
        compds. for treating neurol. disease, vision disorders, and
      alopecia)
ΤT
     Regeneration, animal
        (nerve, stimulators; prepn. of prolinylalkanediones and related compds.
        for treating neurol. disease, vision disorders, and alopecia)
IT
     Nerve
        (peripheral, damage treatment; prepn. of prolinylalkanediones and
        related compds. for treating neurol. disease, vision disorders, and
      alopecia)
ΙT
     Anti-Alzheimer's agents
     Antiparkinsonian agents
        (prepn. of prolinylalkanediones and related compds. for treating
        neurol. disease, vision disorders, and alopecia)
     Amino acids, preparation
IT
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of prolinylalkanediones and related compds. for treating
        neurol. disease, vision disorders, and alopecia)
IT
     Alopecia
        (treatment; prepn. of prolinylalkanediones and related compds. for
        treating neurol. disease, vision disorders, and alopecia)
                                    222171-52-0P
                                                   222171-57-5P
                                                                   222171-58-6P
ΙT
     186268-78-0P
                    222171-48-4P
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     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of prolinylalkanediones and related compds. for treating
        neurol. disease, vision disorders, and alopecia)
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95-14-7, 1H-Benzotriazole

KATHLEEN FULLER EIC1700 308-4290

IT

79-19-6, Thiosemicarbazide

100-02-7,

```
4-Nitrophenol, reactions
                                1576-35-8, p-Toluenesulfonylhydrazide
     2133-40-6, L-Proline methyl ester hydrochloride
                                                       2266-41-3
                                                                    2361-27-5
     4755-77-5, Ethyl chlorooxoacetate
                                         5781-53-3; Methyl oxalyl chloride
     7511-13-9
                10393-86-9, Methanesulfonylhydrazide
                                                        22059-22-9
                                                                      22179-78-8
     27469-60-9
                  28276-08-6, 1,1-Dimethylpropylmagnesium chloride
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     32231-06-4
                  273925-05-6
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        (prepn. of prolinylalkanediones and related compds. for treating
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     186268-77-9P
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     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of prolinylalkanediones and related compds. for treating
        neurol. disease, vision disorders, and alopecia)
IT
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     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of prolinylalkanediones and related compds. for treating
        neurol. disease, vision disorders, and alopecia)
RN
     273925-01-2 HCAPLUS
     2-Pyrrolidinecarboxamide, N-ethyl-N-methyl-1-[3-(4-methylphenyl)-1,2-
CN
     dioxopropyl] - (9CI) (CA INDEX NAME)
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IT 186268-77-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of prolinylalkanediones and related compds. for treating neurol. disease, vision disorders, and alopecia)

RN 186268-77-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA INDEX NAME)

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ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2001 ACS
L12
     2000:227463 HCAPLUS
AN
DN
     132:269827
ΤI
     Method of treating hair loss using ketoamides
     Tiesman, Jay Patrick; Fulmer, Andrew Wayne; McIver, John Mcmillan;
ΙN
     Degenhardt, Charles Raymond; Eickhoff, David Joseph
     The Procter & Gamble Company, USA
PA
SO
     PCT Int. Appl., 71 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
     ICM A61K007-00
IC
     62-3 (Essential Oils and Cosmetics)
     Section cross-reference(s): 27, 63
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
     WO 2000018358
                       A2
                            20000406
                                            WO 1999-US22215
                                                             19990924
PΙ
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                       A3
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         W: AU, BR, CA, CN, JP, MX
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
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                            20000417
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                                                             19990924
PRAI US 1998-102458
                       Ρ
                            19980930
     WO 1999-US22215
                       W
                            19990924
     The present disclosure describes methods for treating hair loss
AΒ
     in mammals, including arresting and/or reversing hair loss and
     promoting hair growth. The methods comprise administering a
     pyrrolidinyl or piperidinyl ketoamide and a pharmaceutically-acceptable
     carrier. (S)-N-(3,4,5-trimethoxyphenylglyoxyl)pipecolic acid
     1,7-diphenyl-4-heptylamide was prepd. and incorporated into a topical
     compn.
ST
     hair loss keto amide
IT
     Hair preparations
        (growth stimulants; treating hair loss using ketoamides)
IT
     Drug delivery systems
        (oral; treating hair loss using ketoamides)
IT
     Amides, biological studies
     RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (oxo; treating hair loss using ketoamides)
IT
     Drug delivery systems
        (topical; treating hair loss using ketoamides)
IT
     Alopecia
        (treating hair loss using ketoamides)
     145912-40-9P 186268-54-2P 186268-71-3P
IT
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                    263239-97-0P
     263239-96-9P
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     (Uses)
        (treating hair loss using ketoamides)
     637-59-2, 1-Bromo-3-phenylpropane
                                        2046-18-6, 4-Phenylbutyronitrile
ΤТ
                  88755-16-2, 3,4,5-Trimethoxyphenylglyoxylic acid
     26250-84-0
     262608-73-1
    RL: RCT (Reactant)
        (treating hair loss using ketoamides)
                                   263238-17-1P
     262608-86-6P
                    263238-16-0P
TΤ
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (treating hair loss using ketoamides)
TΤ
     186268-54-2P 186268-71-3P
     RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
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KATHLEEN FULLER EIC1700 308-4290

(treating hair loss using ketoamides)

RN 186268-54-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(1,3-benzodioxol-5-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-71-3 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L12 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2001 ACS
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AN 2000:209809 HCAPLUS

DN 132:237375

TI Preparation of bridged heterocyclic derivatives for treatment of neurological and other disorders

IN Li, Jia He; Limburg, David; Hamilton, Gregory S.; Steiner, Joseph P.

PA Guilford Pharmaceuticals Inc., USA

SO PCT Int. Appl., 503 pp.

CODEN: PIXXD2

DT Patent

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 27

FAN.CNT 3

r Alv.	~IA 1	3																
	PATENT NO.			KII	ND	DATE			A)	PPLI	CATI	ON NC	Э.	DATE				
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PI	WO 2000016603			03	- A2 20000330			WO 1998-US25577				77	19981203					
		W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	JP,	ΚE,
			KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,
			MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,
			TT,	UA,	UG,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ŹW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,
			FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
		•	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	.TG						
	ΑU	9919	028		A1 20000410					AU 1999-19028				19981203				
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KATHLEEN FULLER EIC1700 308-4290

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PRAI US 1998-101077 P 19980918
US 1998-159105 A 19980923
WO 1998-US25577 W 19981203
OS MARPAT 132:237375
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GΙ

$$G-V$$

$$(C)_{m}-Z-R1$$

$$X$$

AB Heterocyclic compds. I [A and B taken together form a satd., unsatd., or arom. heterocyclic or carbocyclic bridged ring moiety which contains one or more O, CR12, S, SO, SO2, N, NH or imino; V = CH, S, or N; X = O, CH2, or S; m = 0 or 1; G is an acyl, sulfonyl, or alkyl moiety; R1 = H, (un)substituted alkyl, cycloalkyl, a carboxylic acid, a bridged ring moiety, etc.; Z = CH2, alkyl, O, S, a direct bond, NH or imino, etc.] or their pharmaceutically acceptable salts, esters, or solvates were prepd. for the treatment of neurol. and vision disorders, alopecia, etc. Thus, (2S)-(1-oxo-5-phenylpentyl)-1-(3,3-dimethyl-1,2-dioxopentyl)pyrrolidine was prepd. from 1-chloro-4-phenylbutane, N-benzyl-L-proline Et ester, Me oxalyl chloride, and 1,1-dimethylpropylmagnesium chloride and shown to inhibit peptidyl prolyl isomerase with Ki = 31 nM.

ST pyrrolidine acyl prepn neurol vision disorder; neurol disorder bridged heterocycle; vision disorder bridged heterocycle; pipecolate diphenylpentylthio prepn neurol vision disorder

IT Proteins, specific or class

RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP-12 (FK 506-binding protein, 12,000-mol.-wt.); prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Nervous system

(amyotrophic lateral sclerosis; prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Nervous system

(disease; prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Hair preparations

(growth stimulants; prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Alopecia

Alzheimer's disease

Eye, disease

Immunosuppressants

Parkinson's disease

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Amino acids, preparation

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

IT Heterocyclic compounds

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

```
Immunophilins
ΙT
     RL: MSC (Miscellaneous)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
ΤT
     Eye, disease
        (retina, ischemia; prepn. of bridged heterocyclic derivs. for treatment
        of neurol. and other disorders)
                    222171-84-8P
                                    222171-85-9P
IT
     222171-83-7P
     RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
     SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
                   141084-63-1P
                                  152754-34-2P
                                                  152754-35-3P
                                                                 152754-36-4P
IT
     73096-19-2P
     152754-38-6P
                    152754-42-2P
                                    155668-51-2P
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                                                                  155668-86-3P
     157757-22-7P 186268-50-8P 186268-51-9P
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                    205388-36-9P
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                    261928-53-4P
                                                   261928-55-6P
     252720-21-1P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
IT
                   210048-30-9
                                 210103-88-1
                                                210103-92-7 212607-81-3
     152754-55-7
     222171-48-4
                   222171-57-5
                                  222171-58-6
                                                251950-42-2
                                                              251969-48-9
     252770-38-0
                   252770-39-1
                                 258871-54-4
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
IT
     95076-93-0, Peptidyl prolyl isomerase
     RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
                            · KATHLEEN FULLER EIC1700 308-4290
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```
62-56-6, Thiourea, reactions
                                   86-81-7, 3,4,5-Trimethoxybenzaldehyde
IT
     96-15-1, 2-Methylbutylamine
                                                                 108-98-5,
                                   103-63-9, Phenethyl bromide
                                   122-97-4, 3-Phenyl-1-propanol
                                                                    955-40-8,
     Phenyl mercaptan, reactions
     n-Benzyl-L-proline ethyl ester
                                      1122-82-3, Cyclohexyl isothiocyanate
     2133-40-6, L-Proline methyl ester hydrochloride
                                                      2605-67-6, Methyl
                                           2859-67-8, 3-(3-Pyridyl)-1-propanol
     (triphenylphosphoranylidene)acetate
     3173-53-3, Cyclohexyl isocyanate
                                        4830-93-7, 1-Chloro-4-phenylbutane
                                                 5781-53-3, Methyl oxalyl
     5406-18-8, 3-(p-Methoxyphenyl)-1-propanol
                7531-52-4, L-Prolinamide
                                           15761-39-4
                                                        23356-96-9, s +
     chloride
     2-Pyrrolidinemethanol
                             26250-84-0
                                          28276-08-6, 1,1-
                                        32559-18-5, Methyl pipecolate
     Dimethylpropylmagnesium chloride
                                                 222171-36-0
     hydrochloride
                     34592-47-7, L-Thioproline
    RL: RCT (Reactant)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
     104-53-0P, Benzenepropanal
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                                                20329-96-8P
                                                               57293-19-3P
IT
                                          88537-44-4P
                                                         89113-44-0P
     69603-49-2P, 3-Pyridinepropanethiol
     139419-63-9P 186268-77-9P
                                186268-78-0P
                                                205388-66-5P
                                   210048-37-6P
                                                   210048-38-7P
                                                                  210103-98-3P
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                    205388-68-7P
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                                   217186-59-9P
                                                   217186-60-2P
                                                                  217186-61-3P
                    222171-38-2P
                                   251917-76-7P
                                                   251953-31-8P
                                                                  251953-33-0P
     222171-37-1P
     251954-80-0P
                    261928-56-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
ΙT
     30273-62-2P
                   53560-26-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
     186268-50-8P 186268-51-9P 186268-52-0P
IT
     186268-53-1P 186268-54-2P 186268-56-4P
     186268-57-5P 186268-58-6P 186268-63-3P
     186268-64-4P 186268-65-5P 186268-66-6P
     186268-67-7P 186268-68-8P 186452-05-1P
     186452-06-2P 186452-07-3P 186452-08-4P
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     186452-12-0P 186452-13-1P 186452-14-2P
     186452-15-3P 186452-16-4P 186452-17-5P
     186452-18-6P 186452-19-7P 186452-20-0P
     212762-79-3P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of bridged heterocyclic derivs. for treatment of neurol. and
        other disorders)
RN
     186268-50-8 · HCAPLUS
     L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI)
CN
     (CA INDEX NAME)
```

Absolute stereochemistry.

RN 186268-51-9 HCAPLUS CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-phenyl-2-propenyl KATHLEEN FULLER EIC1700 308-4290 ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186268-52-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4,5-trimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-53-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(3,4,5-trimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-54-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(1,3-benzodioxol-5-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-56-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN186268-57-5 HCAPLUS

L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-cyclohexylpropyl ester CN (9CI) (CA INDEX NAME)

Absolute stereochemistry. -

RN186268-58-6 HCAPLUS

L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-cyclohexyl-2-propenylCN ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186268-63-3 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-64-4 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-65-5 HCAPLUS

CN L-Proline, 1-(2-furanyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-66-6 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX KATHLEEN FULLER EIC1700 308-4290

NAME)

Absolute stereochemistry.

RN 186268-67-7 HCAPLUS

CN L-Proline, 1-(oxo-2-thiazolylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-68-8 HCAPLUS

CN L-Proline, 1-(oxophenylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-05-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1,3-benzodioxol-5-yl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-06-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2,5-dimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-07-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(2,5-dimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186452-08-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-(3,4,5-trimethoxyphenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-10-8 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-11-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-12-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-13-1 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-14-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-15-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-16-4 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-17-5 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-18-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-19-7 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-20-0 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 212762-79-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1-oxido-3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 186268-77-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of bridged heterocyclic derivs. for treatment of neurol. and other disorders)

RN 186268-77-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:808660 HCAPLUS

DN 132:44998

TI N-linked sulfonamides of heterocyclic thioesters, their preparation, and their use in treating **alopecia** and promoting **hair** growth

IN Steiner, Joseph P.; Hamilton, Gregory S.

PA GPI Nil Holdings, Inc., USA

SO U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 869,426. CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-40

ICS A61K031-445

NCL 514424000

CC 1-12 (Pharmacology)

Section cross-reference(s): 27, 63

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 6004993	A	19991221	US 1998-89375	19980603
	US 5945441	Α	19990831	US 1997-869426	19970604
	ZA 9804621	Α	19981204	ZA 1998-4621	19980529
	ZA 9804778	A	19981204	ZA 1998-4778	19980603
	ZA 9804783	Α	19981204	ZA 1998-4783	19980603
	US 6239164	B1	20010529	US 1999-369860	19990809
PRAI	US 1997-869426	A2	19970604		

OS MARPAT 132:44998

AB Pharmaceutical compns. and methods for treating **alopecia** and promoting **hair** growth are provided which use N-linked sulfonamides of heterocyclic thioesters (prepn. described).

ST sulfonamide heterocyclic thioester prepn alopecia pharmaceutical; hair growth sulfonamide heterocyclic thioester prepn

IT Proteins, specific or class

RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP (FK 506-binding protein), FKBP-type immunophilin; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating alopecia and promoting hair growth)

IT Proteins, specific or class

RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP-12 (FK 506-binding protein, 12,000-mol.-wt.); N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating alopecia and promoting hair growth)

IT Immunophilins

RL: BPR (Biological process); BIOL (Biological study); PROC (Process) (FKBP-type; N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating alopecia and promoting hair growth)

IT Alopecia

Shampoos

```
(N-linked sulfonamides of heterocyclic thioesters, prepn., and use in
        treating alopecia and promoting hair growth)
    Sulfonamides
TT.
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (N-linked sulfonamides of heterocyclic thioesters, prepn., and use in
        treating alopecia and promoting hair growth)
     Drug delivery systems
TΤ
        (emulsions; N-linked sulfonamides of heterocyclic thioesters, prepn.,
        and use in treating alopecia and promoting hair
        growth)
TΨ
     Hair preparations
        (growth stimulants; N-linked sulfonamides of heterocyclic thioesters,
        prepn., and use in treating alopecia and promoting
     hair growth)
     Drug delivery systems.
IT
        (liqs.; N-linked sulfonamides of heterocyclic thioesters, prepn., and
        use in treating alopecia and promoting hair growth)
     Drug delivery systems
TT
        (lotions; N-linked sulfonamides of heterocyclic thioesters, prepn., and
        use in treating alopecia and promoting hair growth)
ΙT
     Drug delivery systems
        (ointments, creams; N-linked sulfonamides of heterocyclic thioesters,
        prepn., and use in treating alopecia and promoting
     hair growth)
ΙT
     Esters, biological studies
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (thio; N-linked sulfonamides of heterocyclic thioesters, prepn., and
        use in treating alopecia and promoting hair growth)
ΙT
     210048-11-6P
                    210048-13-8P
                                   210048-25-2P
                                                  222171-28-0P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (N-linked sulfonamides of heterocyclic thioesters, prepn., and use in
        treating alopecia and promoting hair growth)
                                                     205388-30-3, GPI
ΙT
     186452-09-5, GPI 1046
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            210048-11-6D, esters
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     222171-27-9
                   222171-28-0D, esters 222171-29-1
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     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (N-linked sulfonamides of heterocyclic thioesters, prepn., and use in
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                                      17486-86-1P, 1,5-Diphenyl-3-pentanol
     104-53-0P, 3-Phenyl-1-propanal
TΤ
                  88537-44-4P
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     57293-19-3P
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     251917-77-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and reaction; N-linked sulfonamides of heterocyclic thioesters,
        prepn., and use in treating alopecia and promoting
     hair growth)
     62-56-6, Thiourea, reactions
                                    98-09-9, Benzenesulfonyl chloride
IT
     98-59-9, p-Toluenesulfonyl chloride
                                          103-63-9, 2-(Bromoethyl)benzene
     122-97-4, 3-Phenyl-1-propanol 1939-99-7, .alpha.-Toluenesulfonyl
                5406-18-8, 3-(p-Methoxyphenyl)-1-propanol
                                                            15761-39-4
     chloride
     26250-84-0
     RL: RCT (Reactant)
        (reaction; N-linked sulfonamides of heterocyclic thioesters, prepn.,
        and use in treating alopecia and promoting hair
        growth)
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(3) Anon; EP 48159 1982 HCAPLUS
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(70) Nelson; US 5385908 1995 HCAPLUS
(71) Norton; US 5506228 1996 HCAPLUS
(72) Ok; US 5208241 1993 HCAPLUS
(73) Organ; US 5284877 1994 HCAPLUS
(74) Proctor; US 5472687 1995 HCAPLUS
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(76) Rinehart; US 5294603 1994 HCAPLUS
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(78) Schreiber; US 5447915 1995 HCAPLUS
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(84) Takeuchi; US 5359138 1994 HCAPLUS
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IT 186452-09-5, GPI 1046

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(N-linked sulfonamides of heterocyclic thioesters, prepn., and use in treating alopecia and promoting hair growth)

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12

ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2001 ACS

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1999:784085 HCAPLUS
ΑN
DN
     132:18814
     Aza-heterocyclic compounds used to treat neurological disorders and
TI
     hair loss
     Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Li, Jia-He; Steiner,
IN
     Joseph P.
     Guilford Pharmaceuticals Inc., USA; Amgen, Inc.
PΑ
SO
     PCT Int. Appl., 106 pp.
     CODEN: PIXXD2
DT
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LA
     English
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     ICM C07D263-06
     ICS A61K031-42; C07D277-06; A61K031-425; C07D207-16; A61K031-40;
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CC 1-12 (Pharmacology)
Section cross-reference(s): 28, 63
FAN.CNT 1
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PATENT NO.
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       EP 1102756
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        NO 2000006117
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OS MARPAT 132:18814

GI

AB The invention is directed to carboxylic acids and isosteres of heterocyclic ring compds. I [X, Y, Z = C, O, S, N (provided that not all X, Y, Z are C); n = 1-3; A = R1C(O)C(O), R1C(O)C(S), R1SO2, (E)(R1)NC(O); R1, E = H, C1-9 (un)branched alkyl or alkenyl, aryl, etc.; D = C1-10 (un)branched alkyl, ethylene, butylene; R2 = carboxylic acid or carboxylic acid isostere] which have multiple heteroatoms within the heterocyclic ring, derivs. contg. N-linked diketos, sulfonamides, ureas and carbamates attached thereto, their prepn. and use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases, as well as for treating alopecia and promoting hair growth.

ST azaheterocyclic deriv prepn neurol disorder alopecia; heterocyclic deriv neurol disorder alopecia; hair growth aza heterocyclic deriv; nerve damage aza heterocyclic deriv; neurodegenerative disease aza heterocyclic deriv

IT Nervous system

(amyotrophic lateral sclerosis; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Neurotrophic factors

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(brain-derived; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Nervous system

(degeneration; heterocyclic compds. for treatment of neurol. disorder or hair loss)

IT Nervous system

(disease; heterocyclic compds. for treatment of neurol. disorder or KATHLEEN FULLER EIC1700 308-4290

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hair loss)
IT
     Drug delivery systems
        (emulsions; heterocyclic compds. for treatment of neurol. disorder or
      hair loss)
ΙT
     Neurotrophic factors
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (glial-derived; heterocyclic compds. for treatment of neurol. disorder
        or hair loss)
TΤ
    Hair preparations
        (growth stimulants; heterocyclic compds. for treatment of neurol.
        disorder or hair loss)
TΤ
    Alopecia
     Anti-Alzheimer's agents
    Antiparkinsonian agents
     Drug delivery systems
     Nervous system agents
     Shampoos
        (heterocyclic compds. for treatment of neurol. disorder or hair
        loss)
IT
     Ciliary neurotrophic factor
     Neurotrophic factors
     Platelet-derived growth factors
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (heterocyclic compds. for treatment of neurol. disorder or hair
        loss)
    Brain, disease
IT
     Spinal cord
        (injury; heterocyclic compds. for treatment of neurol. disorder or
     hair loss)
TΨ
     Drug delivery systems
        (liqs.; heterocyclic compds. for treatment of neurol. disorder or
     hair loss)
TΤ
     Drug delivery systems
        (lotions; heterocyclic compds. for treatment of neurol. disorder or
     hair loss)
ΙT
    Regeneration, animal
        (nerve; heterocyclic compds. for treatment of neurol. disorder or
     hair loss)
ΙT
     Drug delivery systems
        (ointments, creams; heterocyclic compds. for treatment of neurol.
        disorder or hair loss)
IT
    Nerve, disease
        (peripheral neuropathy; heterocyclic compds. for treatment of neurol.
        disorder or hair loss)
IT
    Nerve, disease
        (peripheral, injury; heterocyclic compds. for treatment of neurol.
        disorder or hair loss)
TΤ
        (regeneration; heterocyclic compds. for treatment of neurol. disorder
        or hair loss)
IT
     Brain, disease
        (stroke, brain damage-assocd.; heterocyclic compds. for treatment of
        neurol. disorder or hair loss)
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     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (heterocyclic compds. for treatment of neurol. disorder or hair
        loss)
     61912-98-9, Insulin-like growth factor
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ΙT
     factor, truncated derivs. 100391-96-6 106096-92-8, Acidic fibroblast
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     growth factor
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RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
   (heterocyclic compds. for treatment of neurol. disorder or hair
   loss)
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                         KATHLEEN FULLER EIC1700 308-4290
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(1) Guilford Pharm Inc; WO 9640633 A 1996 HCAPLUS
(2) Guilford Pharm Inc; WO 9855090 A 1998 HCAPLUS
(3) Mitsubishi Chem Ind; EP 0006633 A 1980 HCAPLUS
(4) Mitsubishi Chem Ind Ltd; JP 56061390 A 1981
(5) Ono Pharmaceutical Co; EP 0769498 A 1997 HCAPLUS
(6) Vertex Pharma; WO 9200278 A 1992 HCAPLUS
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TT

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RF.

IT

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L12 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2001 ACS
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ΑN
DN
     132:22860
     Preparation of aza-heterocyclic compounds used to treat neurological
TI
     disorders and hair loss
    Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Steiner, Joseph P.
IN
     Guilford Pharmaceuticals Inc., USA; Amgen, Inc.
PA
     PCT Int. Appl., 96 pp.
SO
     CODEN: PIXXD2
DΤ
     Patent
LA
    English
IC
     ICM C07D207-16
     ICS A61K031-40; C07D207-12; C07D403-04; A61K031-41
     27-1 (Heterocyclic Compounds (One Hetero Atom))
CC
     Section cross-reference(s): 1, 34
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KATHLEEN FULLER EIC1700 308-4290

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GΙ
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Prepn. of N-heterocyclic carboxylic acids and carboxylic acid isosteres I [n = 1-3; X = 0, S; R1 = C1-C9 straight or branched chain alkyl, C2-C9 straight or branched chain alkenyl, aryl, heteroaryl, carboncycle, heterocycle; D = bond, C1-C10 straight or branched chain alkyl, C2-C10 alkenyl, C2-C10 alkynyl; R2 = carboxylic acid, carboxylic acid isostere] and their use for treating neurol. disorders and for treating alopecia and promoting hair growth are described. E.g., (2S)-1-(1,2-dioxo-3,3-dimethylpentyl)-2-hydroxymethylpyrrolidine was prepd.
```

ST aza heterocyclic prepn neurol disorder hair loss treatment; neurol disorder disorder aza heterocyclic; hair loss disorder aza heterocyclic; heterocyclic carboxylic acid prepn neurol disorder hair loss treatment

IT Nervous system

(disease; prepn. of aza-heterocyclic compds. used to treat neurol. disorders and ${\tt hair}$ loss)

IT Alopecia

(prepn. of aza-heterocyclic compds. used to treat neurol. disorders and hair loss)

IT 186268-78-0P 222171-48-4P 222171-57-5P RL: BAC (Biological activity or effector, except a

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aza-heterocyclic compds. used to treat neurol. disorders and hair loss)

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KATHLEEN FULLER EIC1700 308-4290

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        (prepn. of aza-heterocyclic compds. used to treat neurol. disorders and
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     543-27-1, Isobutyl chloroformate
     hydrochloride
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        (prepn. of aza-heterocyclic compds. used to treat neurol. disorders and
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     186268-77-9P
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        (prepn. of aza-heterocyclic compds. used to treat neurol. disorders and
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RE.CNT 7
(1) Ariad Gene Therapeutics Inc; WO 9606097 A 1996 HCAPLUS
(2) Ariad Gene Therapeutics Inc; WO 9731898 A 1997 HCAPLUS
(3) Guilford Pharm Inc; WO 9640633 A 1996 HCAPLUS
(4) Guilford Pharm Inc; WO 9837885 A 1998 HCAPLUS
(5) Guilford Pharm Inc; WO 9855090 A 1998 HCAPLUS
(6) Guilford Pharm Inc; WO 9855091 A 1998 HCAPLUS
(7) Pharma, V; WO 9200278 A 1992 HCAPLUS
     251950-15-9P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
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     (Preparation); USES (Uses)
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     251950-15-9 HCAPLUS
     2-Pyrrolidinecarboxamide, N-cyano-N-ethyl-1-[(4-methylphenyl)oxoacetyl]-
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IT

ΙT

RE

ΙT

RN

CN

IT 186268-77-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of aza-heterocyclic compds. used to treat neurol. disorders and hair loss)

RN 186268-77-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA INDEX NAME)

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ANSWER 9 OF 14 HCAPLUS
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L12
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ΑN
     132:26637
DN
     Heterocyclic thioester and ketone hair growth compositions and
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IN
     Hamilton, Gregory S.; Steiner, Joseph P.
     Guilford Pharmaceuticals Inc., USA
PA
     PCT Int. Appl., 102 pp.
SO
     CODEN: PIXXD2
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                             KATHLEEN FULLER EIC1700 308-4290
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                                               19980603
PRAI WO 1998-US11251
                                      Α
OS
        MARPAT 132:26637
AB
        This invention relates to pharmaceutical compns. and methods for treating
        alopecia and promoting hair growth using heterocyclic
        thioesters and ketones. Thus, 2-phenyl-1-ethyl-(2S)-1-(3,3-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-1,2-dimethyl-
        dioxopentyl)-2-pyrrolidinecarbothicate (I) was prepd. by the reaction of
        Me pipecolate-HCl with Me oxalyl chloride followed by the reaction with
        1,1-dimethylpropylmagnesium chloride, hydrolysis and reaction with Ph
        mercaptan. A hair lotion contained 95% EtOh 80.0, I 0.005,
        hinokitol 0.01, ethoxylated hardened castor oil 0.5, and water 19.0%, and
        perfume and dye qs.
        thioester heterocyclic hair growth prepn; ketones heterocyclic
ST
        hair growth prepn
IT
        Hair preparations
              (creams; heterocyclic thioesters and ketones for hair growth
             compns.)
IT
        Hair preparations
              (emulsions; heterocyclic thioesters and ketones for hair
             growth compns.)
IT
        Hair preparations
              (growth stimulants; heterocyclic thioesters and ketones for
          hair growth compns.)
ΙT
        Alopecia
        Shampoos
              (heterocyclic thioesters and ketones for hair growth compns.)
ΙT
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ΙT
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IT
        Hair preparations
              (lotions; heterocyclic thioesters and ketones for hair growth
IT
        Carboxylic acids, biological studies
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(heterocyclic thioesters and ketones for hair growth compns.)
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(1) Fujisawa Pharm Co Ltd; EP 0423714 A 1991 HCAPLUS
(2) Guilford Pharm Inc; WO 9813343 A 1998 HCAPLUS
(3) Hallam, K; EP 0471135 A 1992 HCAPLUS
(4) Merck & Co Inc; WO 9314762 A 1993 HCAPLUS
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Absolute stereochemistry.

132:26635

1999:783906 HCAPLUS

T.12

AN DN

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N-oxide of heterocyclic ester, amide, thioester, or ketone for
ΤI
     hair growth compositions
     Hamilton, Gregory S.; Steiner, Joseph P.
IN
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PΑ
     PCT Int. Appl., 88 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
     ICM A61K007-48
IC
         A61K031-44; A61K031-47
     62-3 (Essential Oils and Cosmetics)
CC
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                                                                19980603
PΙ
     WO 9962486
                        A1
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                      KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
         RW: GH, GM,
              FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
                               KATHLEEN FULLER EIC1700 308-4290
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ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2001 ACS

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ZA 9804779
                            19990217
                                           ZA 1998-4779
                                                             19980603
                       Α
     ZA 9804775
                       Α
                            19990223
                                           ZA 1998-4775
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     AU 9877168
                       A1
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                                                             19980603
                            20010314
                                           EP 1998-925153
                                                             19980603
     EP 1082095
                       A1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
PRAI WO 1998-US11245
                            19980603
                       Α
    MARPAT 132:26635
     This invention relates to pharmaceutical compns. and methods for treating
     alopecia and promoting hair growth using N-oxides of
     heterocyclic esters, amides, thioesters, or ketones.
                                                            Thus.
     3-(3-pyridyl)-1-propyl-(2S)-1-(3,3-Dimethyl-1,2-dioxopentyl)-2-
     pyrrolidinecarboxylate N-oxide (I) was obtained by the oxidn. of
     3-(3-pyridyl)-1-propyl-(2S)-1-(3,3-dimethyl-1,2-dioxopentyl)-2-
     pyrrolidinecarboxylate by m-chloroperbenzoic acid in methylene chloride.
     A hair lotion contained 95% eTOH 80.0, I 10.0,
     .alpha.-tocopherol acetate 0.01, ethoxylated hardened castor oil 0.5, and
     water 9.0%, and perfume and dye qs.
    heterocyclic thioester oxide hair growth prepn; ketone
    heterocyclic oxide hair growth prepn; ester heterocyclic oxide
    hair growth prepn; amide heterocyclic oxide hair growth
     prepn
    Alopecia
     Shampoos
        (N-oxide of heterocyclic ester or amide or thioester or ketones for
     hair growth compns.)
     Immunophilins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (N-oxide of heterocyclic ester or amide or thioester or ketones for
      hair growth compns.)
     Amine oxides
     RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (N-oxide of heterocyclic ester or amide or thioester or ketones for
     hair growth compns.)
    Hair preparations
        (creams; N-oxide of heterocyclic ester or amide or thioester or ketones
        for hair growth compns.)
    Hair preparations
        (emulsions; N-oxide of heterocyclic ester or amide or thioester or
        ketones for hair growth compns.)
     Hair preparations
        (growth stimulants; N-oxide of heterocyclic ester or amide or thioester
        or ketones for hair growth compns.)
     Hair preparations
        (lotions; N-oxide of heterocyclic ester or amide or thioester or
        ketones for hair growth compns.)
     Amides, biological studies
     Ketones, biological studies
     RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (oxides; N-oxide of heterocyclic ester or amide or thioester or ketones
        for hair growth compns.)
     Carboxylic acids, biological studies
     RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (thiocarboxylic, esters, oxides; N-oxide of heterocyclic ester or amide
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or thioester or ketones for hair growth compns.)

KATHLEEN FULLER EIC1700 308-4290

OS

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IT 251956-60-2P 251956-61-3P 251956-62-4P 251956-63-5P 251956-64-6P 251956-65-7P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-oxide of heterocyclic ester or amide or thioester or ketones for hair growth compns.)

IT 2133-40-6 2859-67-8, 3-Pyridinepropanol 5781-53-3, Methyl oxalyl chloride 28276-08-6

RL: RCT (Reactant)

(N-oxide of heterocyclic ester or amide or thioester or ketones for hair growth compns.)

IT 139419-63-9P 186268-77-9P 186268-78-0P 186452-09-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (N-oxide of heterocyclic ester or amide or thioester or ketones for hair growth compns.)

RE.CNT 5

RE

- (1) Bazzano, G; WO 8906234 A 1989 HCAPLUS
- (2) L'Oreal; EP 0420707 A 1991 HCAPLUS
- (3) L'Oreal; EP 0494005 A 1992 HCAPLUS
- (4) Proctor, P; US 5472687 A 1995 HCAPLUS
- (5) Proctor, P; US 5714510 A 1998 HCAPLUS
- IT 251956-60-2P 251956-61-3P 251956-62-4P 251956-63-5P 251956-64-6P 251956-65-7P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-oxide of heterocyclic ester or amide or thioester or ketones for ${\bf hair}$ growth compns.)

RN 251956-60-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251956-61-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-pyridinyl)propyl ester, 1-oxide (9CI) (CA INDEX NAME)

RN 251956-62-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-pyridinyl)propyl ester, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251956-63-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-quinolinyl)propyl ester, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251956-64-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-quinolinyl)propyl ester, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251956-65-7 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-quinolinyl)propyl ester, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 186268-77-9P 186452-09-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (N-oxide of heterocyclic ester or amide or thioester or ketones for hair growth compns.)

RN 186268-77-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

- L12 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2001 ACS
- AN 1999:249062 HCAPLUS
- DN 130:262139
- TI Method for treating hearing loss using sensorineurotrophic compounds KATHLEEN FULLER EIC1700 308-4290

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ΙN
     Magal, Ella
PA
     Amgen Inc., USA
SO
     PCT Int. Appl., 649 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
IC
     A61K035-00
CC
     1-11 (Pharmacology)
     Section cross-reference(s): 28, 63
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                              DATE
                                               APPLICATION NO.
                                                                  DATE
                               19990401
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                                                                  19980924
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              CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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              IE, SI, LT, LV, FI, RO
PRAI US 1997-59905
                         Ρ
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                         Ρ
     US 1997-59963
                               19970925
     US 1998-159105
                         Α
                               19980923
     WO 1998-US19980
                               19980924
OS
     MARPAT 130:262139
     Methods are provided for preventing and/or treating injury or degeneration
AB
     of inner ear sensory cells, e.g. hair cells and auditory
     neurons, by administration of a sensorineurotrophic compd. to a patient in
     need thereof. Compd. prepn. is included.
ST
     sensorineurotrophic compd prepn hearing loss treatment
ΙT
     Ear
         (ototoxicity; sensorineurotrophic compds., and prepn. thereof, for
        treating hearing loss)
IT
     Deafness
         (sensorineural; sensorineurotrophic compds., and prepn. thereof, for
        treating hearing loss)
ΙT
     Drug delivery systems
     Hair cell (organ of Corti)
     Inner ear
         (sensorineurotrophic compds., and prepn. thereof, for treating hearing
        loss)
IT
     FKBP12 (protein)
     RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
         (sensorineurotrophic compds., and prepn. thereof, for treating hearing
        loss)
IT
     Inner ear
         (vestibular app.; sensorineurotrophic compds., and prepn. thereof, for
        treating hearing loss)
                                          17486-86-1P, 1,5-Diphenyl-3-pentanol
ΙT
     104-53-0P, 3-Phenyl-1-propanal
                     21011-66-5P
                                    57293-19-3P
                                                    69603-49-2P,
     20329-96-8P
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     3-Pyridinepropanethiol
                                                89113-44-0P
                      186268-78-0P 186452-09-5P
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     217186-09-9P
                      217186-62-4P
     222171-38-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
         (prepn. and reaction; sensorineurotrophic compds., and prepn. thereof,
                                KATHLEEN FULLER EIC1700 308-4290
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for treating hearing loss)
     222171-82-6P
IT
    RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (reaction; sensorineurotrophic compds., and prepn. thereof, for
        treating hearing loss)
                                   86-81-7, 3,4,5-Trimethoxybenzaldehyde
IT
     62-56-6, Thiourea, reactions
                                   98-09-9, Benzenesulfonyl chloride
                                                                        98-59-9,
     96-15-1, 2-Methylbutylamine
                                  103-63-9, 2-(Bromoethyl)benzene
                                                                    108-98-5,
     p-Toluenesulfonyl chloride
                                  122-97-4, 3-Phenyl-1-propanol
                                                                    543-27-1,
     Phenyl mercaptan, reactions
     Isobutyl chloroformate
                              955-40-8
                                         1122-82-3, Cyclohexyl isothiocyanate
    1939-99-7, .alpha.-Toluenesulfonyl chloride
                                                   2133-40-6, L-Proline methyl
                           2859-67-8, 3-(3-Pyridyl)-1-propanol
                                                                  3173-53-3,
     ester hydrochloride
                             4830-93-7, 1-Chloro-4-phenylbutane
                                                                   5406-18-8,
     Cyclohexyl isocyanate
     3-(p-Methoxyphenyl)-1-propanol
                                      5781-53-3, Methyloxalyl chloride
                                15761-39-4
                                             23356-96-9, (S)-(+)-2-
     7531-52-4, L-Prolinamide
                          26250-84-0
     Pyrrolidinemethanol
                                        28276-08-6, 1,1-Dimethylpropylmagnesium
                32559-18-5, Methyl pipecolate hydrochloride
                                                             64369-68-2
     chloride
     222171-36-0
                   222171-37-1
    RL: RCT (Reactant)
        (reaction; sensorineurotrophic compds., and prepn. thereof, for
        treating hearing loss)
                           1405-10-3, Neomycin sulfate
IT
     1404-04-2, Neomycin
                                                         15663-27-1, Cisplatin
    RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
     effector, except adverse); BIOL (Biological study)
        (sensorineurotrophic compds., and prepn. thereof, for treating hearing
        loss)
     222171-57-5P
                    222171-84-8P
TΤ
    RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
     SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (sensorineurotrophic compds., and prepn. thereof, for treating hearing
        loss)
IT
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     186268-66-6P 186268-67-7P 186268-68-8P
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     186452-08-4P 186452-10-8P 186452-11-9P
     186452-12-0P 186452-13-1P 186452-14-2P
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     (Preparation); USES (Uses)
        (sensorineurotrophic compds., and prepn. thereof, for treating hearing
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                             KATHLEEN FULLER EIC1700 308-4290
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RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
   (sensorineurotrophic compds., and prepn. thereof, for treating hearing
   loss)
95076-93-0, Peptidyl-prolyl isomerase
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
   (sensorineurotrophic compds., and prepn. thereof, for treating hearing
   loss)
1504-56-9P
             53560-26-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (sensorineurotrophic compds., and prepn. thereof, for treating hearing
   loss)
186268-77-9P 186452-09-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
   (prepn. and reaction; sensorineurotrophic compds., and prepn. thereof,
   for treating hearing loss)
186268-77-9 HCAPLUS
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ΙT

IT

IΤ

RN

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(sensorineurotrophic compds., and prepn. thereof, for treating hearing loss)

RN 186268-50-8 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-51-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186268-52-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4,5-trimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-53-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(3,4,5-trimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-54-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(1,3-benzodioxol-5-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-56-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-57-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-58-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-cyclohexyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-63-3 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-64-4 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-65-5 HCAPLUS

CN L-Proline, 1-(2-furanyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-66-6 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-67-7 HCAPLUS

CN L-Proline, 1-(oxo-2-thiazolylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-68-8 HCAPLUS

CN L-Proline, 1-(oxophenylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-05-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1,3-benzodioxol-5-yl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-06-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2,5-dimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-07-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(2,5-dimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186452-08-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-(3,4,5-trimethoxyphenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-10-8 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-11-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-12-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-13-1 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-14-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-15-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-16-4 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-17-5 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-18-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-19-7 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-20-0 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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        (sensorineurotrophic compds., and prepn. thereof, for treating hearing
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     186268-50-8 HCAPLUS
RN
     L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI)
CN
     (CA INDEX NAME)
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Absolute stereochemistry.

RN 186268-51-9 HCAPLUS CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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RN 186268-52-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4,5-trimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-53-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(3,4,5-trimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186268-54-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(1,3-benzodioxol-5-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 186268-55-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(3,4-dichlorophenyl)-2-propenyl ester (9CI) (CA INDEX NAME) KATHLEEN FULLER EIC1700 308-4290 Absolute stereochemistry. Double bond geometry as shown.

RN 186268-55-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(3,4-dichlorophenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186268-56-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-57-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-58-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-cyclohexyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186268-59-7 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R,2E)-1,3-diphenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-59-7 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R,2E)-1,3-diphenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-60-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-cyclohexyl-3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-60-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-cyclohexyl-3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-62-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-(3,4-dichlorophenyl)-3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-62-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-(3,4-dichlorophenyl)-3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-63-3 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-64-4 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-65-5 HCAPLUS

CN L-Proline, 1-(2-furanyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-66-6 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-67-7 HCAPLUS

CN L-Proline, 1-(oxo-2-thiazolylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-68-8 HCAPLUS

CN L-Proline, 1-(oxophenylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-69-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenyl-1-(3-phenylpropyl)butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-69-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenyl-1-(3-phenylpropyl)butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-70-2 HCAPLUS

CN L-Proline, 1-(4-hydroxy-3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-70-2 HCAPLUS

CN L-Proline, 1-(4-hydroxy-3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-71-3 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-71-3 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-72-4 HCAPLUS

CN L-Leucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 186268-72-4 HCAPLUS

CN L-Leucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-73-5 HCAPLUS

CN Glycine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-2-phenyl-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-73-5 HCAPLUS

CN Glycine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-2-phenyl-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-74-6 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-74-6 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-75-7 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-75-7 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 186268-76-8 HCAPLUS

CN L-Isoleucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-76-8 HCAPLUS

CN L-Isoleucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-05-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1,3-benzodioxol-5-yl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-06-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2,5-dimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-07-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(2,5-dimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186452-08-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-(3,4,5-trimethoxyphenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-10-8 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-11-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-12-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-14-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-15-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-16-4 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-17-5 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-18-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-19-7 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-20-0 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

RN 186452-22-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4-dichlorophenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-22-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4-dichlorophenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-23-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1S,2E)-1-cyclohexyl-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186452-23-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1S,2E)-1-cyclohexyl-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186452-25-5 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-(3,3-dimethyl-1,2-dioxopentyl)-N-(3-phenylpropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-25-5 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-(3,3-dimethyl-1,2-dioxopentyl)-N-(3-phenylpropyl)-, (2S)- (9CI) (CA INDEX NAME)

RN 222171-43-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4,4-diphenylcyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222171-43-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4,4-diphenylcyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222171-44-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4-(4-nitrophenyl)butyl ester (9CI) (CA INDEX NAME)

RN 222171-44-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4-(4-nitrophenyl)butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222171-45-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-[4-(dimethylamino)phenyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222171-45-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-[4-(dimethylamino)phenyl]ethyl ester (9CI) (CA INDEX NAME)

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ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2001 ACS

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                              19970604
     WO 1998-US11246
                              19980603
                        W
os
     MARPAT 130:56975
     This invention relates to pharmaceutical compns. and methods for treating
AΒ
     alopecia and promoting hair growth using pyrrolidine
     derivs. Pyrrolidine derivs. were prepd. and used in hair growth
     formulations. A lotion contained EtOH 80.0, 3-phenyl-1-propyl(2S)-1-(3,3-
     dimethyl-1,2-dioxopentyl)-2-pyrrolidinecarboxylate 10.0,
     .alpha.-tocopherol acetate 0.01, HCO 0.5, and water 9.0% and perfume and
     dye qs.
ST
     hair growth pyrrolidine deriv prepn
ΙT
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Hair growth stimulants
     Hair lotions
        (hair growth compns. contg. pyrrolidine derivs.)
IT
     FKBP (protein)
     FKBP12 (protein)
     Immunophilins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (hair growth compns. contg. pyrrolidine derivs.)
IT
     30273-62-2P
                   53560-26-2P 186268-50-8P 186268-52-0P
     186268-56-4P 186268-57-5P 186268-59-7P
    186268-60-0P 186268-62-2P 186268-63-3P
    186268-64-4P 186268-65-5P 186268-66-6P
     186268-67-7P 186268-68-8P 186268-69-9P
     186268-70-2P 186268-71-3P 186268-72-4P
    186268-73-5P 186268-74-6P 186268-75-7P
    186268-76-8P 186452-05-1P 186452-06-2P
    186452-09-5P 186452-10-8P 186452-11-9P
    186452-12-0P 186452-14-2P 186452-15-3P
    186452-16-4P 186452-17-5P 186452-18-6P
    186452-19-7P 186452-20-0P 186452-22-2P
     186452-23-3P 186452-25-5P 217308-36-6P
     217308-37-7P 217308-39-9P 217308-40-2P
     217308-43-5P 217308-44-6P 217308-48-0P
     RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (hair growth compns. contg. pyrrolidine derivs.)
IT
     86-81-7
               122-97-4, 3-Phenyl-1-propanol
                                                2133-40-6
                                                            2605-67-6
     RL: RCT (Reactant)
        (hair growth compns. contg. pyrrolidine derivs.)
TΤ
     20329-96-8P
                   139419-63-9P 186268-77-9P
                                               186268-78-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (hair growth compns. contg. pyrrolidine derivs.)
RE.CNT
RE
(1) Fujisawa Pharm Co, Ltd; EP 0423714 A 1991 HCAPLUS
(2) Guilford Pharm, Inc; WO 9813343 A 1998 HCAPLUS
(3) L'Oreal; EP 0519819 A 1992 HCAPLUS
(4) Pardo, A; WO 9318736 A 1993 HCAPLUS
(5) Proctor, P; US 5714510 A 1998 HCAPLUS
IT.
     186268-50-8P 186268-52-0P 186268-56-4P
     186268-57-5P 186268-59-7P 186268-60-0P
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     186268-65-5P 186268-66-6P 186268-67-7P
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     217308-39-9P 217308-40-2P 217308-43-5P
     217308-44-6P 217308-48-0P
     RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (hair growth compns. contg. pyrrolidine derivs.)
     186268-50-8 HCAPLUS
RN
     L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI)
CN
     (CA INDEX NAME)
```

RN 186268-52-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4,5-trimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-56-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-57-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-59-7 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R,2E)-1,3-diphenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-60-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-cyclohexyl-3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-62-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1-(3,4-dichlorophenyl)-3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-63-3 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-64-4 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-65-5 HCAPLUS

CN L-Proline, 1-(2-furanyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-66-6 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-67-7 HCAPLUS

CN L-Proline, 1-(oxo-2-thiazolylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-68-8 HCAPLUS

CN L-Proline, 1-(oxophenylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-69-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenyl-1-(3-phenylpropyl)butyl ester (9CI) (CA INDEX NAME)

Et O O (
$$CH_2$$
) 3 Ph O (CH_2) 3 Ph

RN 186268-70-2 HCAPLUS

CN L-Proline, 1-(4-hydroxy-3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-71-3 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-72-4 HCAPLUS

CN L-Leucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-73-5 HCAPLUS

CN Glycine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-2-phenyl-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

RN 186268-74-6 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-75-7 HCAPLUS

CN L-Phenylalanine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-76-8 HCAPLUS

CN L-Isoleucine, 1-(3,3-dimethyl-1,2-dioxopentyl)-L-prolyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-05-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1,3-benzodioxol-5-yl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-06-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2,5-dimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-09-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-10-8 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-11-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-12-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-14-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-15-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-16-4 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-17-5 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-18-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-19-7 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-20-0 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-22-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4-dichlorophenyl)propyl KATHLEEN FULLER EIC1700 308-4290

ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-23-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1S,2E)-1-cyclohexyl-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186452-25-5 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 1-(3,3-dimethyl-1,2-dioxopentyl)-N-(3-phenylpropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 217308-36-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-phenyl-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 217308-37-7 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(3,4,5-trimethoxyphenyl)-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 217308-39-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(1,3-benzodioxol-5-yl)-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 217308-40-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-cyclohexyl-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 217308-43-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(2,5-dimethoxyphenyl)-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 217308-44-6 HCAPLUS CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 217308-48-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1E)-3-(3,4-dichlorophenyl)-1-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 186268-77-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (hair growth compns. contg. pyrrolidine derivs.)

RN 186268-77-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2001 ACS
L12
     1998:804155 HCAPLUS
ΑN
     130:52725
DN
     Preparation and use of pyrrolidines in hair growth compositions
ΤI
     Hamilton, Gregory S.; Steiner, Joseph P.
IN
     Guilford Pharmaceuticals Inc., USA
PA
     PCT Int. Appl., 187 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
IC
     ICM A61K007-48
CC
     34-2 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 1, 63
FAN.CNT 6
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
                                                              DATE
                             19981210
                                             WO 1998-US11237
PΙ
     WO 9855090
                       Α1
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19980603 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TMRW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG 19970604 US 1997-869426 US 5945441 19990831 Α ZA 1998-4621 19980529 19981204 ZA 9804621 Α ZA 1998-4778 19980603 ZA 9804778 Α 19981204 KATHLEEN FULLER EIC1700 308-4290

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ZA 9804783 -
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                       A1
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This invention relates to pharmaceutical compns. and methods for treating AB alopecia and promoting hair growth using non-immunosuppressive neuroimmunophilin FKBP ligands [I; n = 1, 2, 3; Y =CO, COCO, SO2, CS; X = O, S; Z = S, O, CH2, (CH2)3, SCH2, S(CH2)2, S(CH2)3; R1 = benzyl, 3-phenylpropyl, 3-(3-pyridyl)propyl, diphenylmethyl, etc.; R2 = cyclohexyl, Ph, tert-Bu, 3,4,5-trimethoxyphenyl, etc.], stereoisomers, pharmaceutically acceptable salts, esters, and solvates thereof. The title compd. II was tested, in vitro, in inhibition of the peptidyl-prolyl isomerase activity and preferably for use topically to the skin to treat alopecia or promote hair growth effectively.

ΙI

ST carboxylate pyrrolidine prepn alopecia treatment

IT Alopecia

IT

Drug delivery systems

(prepn. and use of pyrrolidines in hair growth compns.)

186452-09-5P IT

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of pyrrolidines in hair growth compns.)

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186452-19-7P 186452-20-0P
                        KATHLEEN FULLER EIC1700 308-4290
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                                    210103-55-2P
     210048-25-2P
                    210103-54-1P
                                                    210103-60-9P
     212762-79-3P
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                                                    217179-50-5P
                    217178-10-4P
     217180-44-4P
                    217180-57-9P
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                                                    217180-90-0P
                                                                   217186-43-1P
                                    217186-47-5P
                                                    217186-49-7P
     217186-45-3P
                    217186-46-4P
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                                                    217186-54-4P
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                    217186-52-2P
                                    217186-53-3P
                                                                   217186-55-5P
                                    217186-58-8P
     217186-56-6P
                    217186-57-7P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. and use of pyrrolidines in hair growth compns.)
     86-81-7, 3,4,5-Trimethoxybenzaldehyde 96-15-1, 2-Methylbutylamine
ΙT
     103-63-9, 2-(Bromoethyl)benzene 108-98-5, Phenyl mercaptan, reactions
     122-97-4, 3-Phenyl-1-propanol 558-13-4, Carbontetrabromide
     1122-82-3, Cyclohexylisothiocyanate
                                           2577-48-2, L-Proline methyl ester
     2859-67-8, 3-Pyridinepropanol 4830-93-7, 1-Chloro-4-phenylbutane 5406-18-8, 3-(p-Methoxyphenyl)-1-propanol 5781-53-3, Methyloxalyl
                20329-96-8, Methyl (E)-3,4,5-Trimethoxycinnamate 26250-84-0
     chloride
     28276-08-6, 1,1-Dimethylpropylmagnesium chloride
                                                          32559-18-5, Methyl
     pipecolate hydrochloride
                                 34592-47-7 53560-26-2
     RL: RCT (Reactant)
        (prepn. and use of pyrrolidines in hair growth compns.)
                                       17486-86-1P, 1,5-Diphenyl-3-pentanol
     104-53-0P, 3-Phenyl-1-propanal
TT
     21011-66-5P
                                 69603-49-2P, 3-Pyridinepropanethiol
                   57293-19-3P
     88537-44-4P
                   89113-44-0P
                                  139419-63-9P 186268-77-9P
     186268-78-0P
                    205388-66-5P
                                    205388-67-6P
                                                    205388-68-7P
                                                                   205448-82-4P
                    210048-38-7P
                                    210103-98-3P
                                                    210103-99-4P
                                                                   217186-09-9P
     210048-37-6P
                    217186-60-2P
                                    217186-61-3P
                                                    217186-62-4P
                                                                   217186-63-5P
     217186-59-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and use of pyrrolidines in hair growth compns.)
RE.CNT
RE
(1) Ariad Gene Therapeutics, Inc; WO 9731898 A 1997 HCAPLUS
(2) Hamilton, G; US 5614547 A 1997 HCAPLUS
(3) The Board of Trustees of the Leland Stanford Junior Univ; WO 9502684 A 1995
    HCAPLUS
TΤ
     186452-09-5P
     RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
     SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. and use of pyrrolidines in hair growth compns.)
RN
     186452-09-5 HCAPLUS
     L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester
CN
           (CA INDEX NAME)
     (9CI)
```

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186268-50-8P 186268-51-9P 186268-52-0P
IT
     186268-53-1P 186268-54-2P 186268-56-4P
     186268-57-5P 186268-58-6P 186268-63-3P
     186268-64-4P 186268-65-5P 186268-66-6P
     186268-67-7P 186268-68-8P 186452-05-1P
     186452-06-2P 186452-07-3P 186452-08-4P
     186452-10-8P 186452-11-9P 186452-12-0P
     186452-13-1P 186452-14-2P 186452-15-3P
     186452-16-4P 186452-17-5P 186452-18-6P
     186452-19-7P 186452-20-0P 212762-79-3P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. and use of pyrrolidines in hair growth compns.)
RN
     186268-50-8 HCAPLUS
     L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI)
CN
     (CA INDEX NAME)
```

Absolute stereochemistry.

RN 186268-51-9 HCAPLUS CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-52-0 HCAPLUS CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3,4,5-KATHLEEN FULLER EIC1700 308-4290 trimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-53-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(3,4,5-trimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-54-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(1,3-benzodioxol-5-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 186268-56-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (1R)-1,3-diphenylpropylester (9CI) (CA INDEX NAME)

RN 186268-57-5 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-58-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-cyclohexyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186268-63-3 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 186268-64-4 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-65-5 HCAPLUS

CN L-Proline, 1-(2-furanyloxoacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-66-6 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-67-7 HCAPLUS

CN L-Proline, 1-(oxo-2-thiazolylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186268-68-8 HCAPLUS

CN L-Proline, 1-(oxophenylacetyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-05-1 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1,3-benzodioxol-5-yl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-06-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2,5-dimethoxyphenyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-07-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, (2E)-3-(2,5-dimethoxyphenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 186452-08-4 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 2-(3,4,5-trimethoxyphenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-10-8 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(2-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-11-9 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(4-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-12-0 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-13-1 HCAPLUS

CN L-Proline, 1-(4-cyclohexyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-14-2 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-15-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-16-4 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-17-5 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)

RN 186452-18-6 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxobutyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-19-7 HCAPLUS

CN L-Proline, 1-(cyclohexyloxoacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 186452-20-0 HCAPLUS

CN L-Proline, 1-(oxo-2-thienylacetyl)-, 3,3-diphenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 212762-79-3 HCAPLUS

CN L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(1-oxido-3-KATHLEEN FULLER EIC1700 308-4290 pyridinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

186268-77-9P IT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and use of pyrrolidines in hair growth compns.)

RN 186268-77-9 HCAPLUS

L-Proline, 1-(3,3-dimethyl-1,2-dioxopentyl)-, methyl ester (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

T.12 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2001 ACS

1993:213513 HCAPLUS AN

118:213513 DN

TT Oxalopeptides as core motifs for protein design

Ranganathan, Darshan; Vaish, Narendra K.; Shah, Kavita; Roy, Raja; ΑU Madhusudanan, K. P.

Dep. Chem., Indian Inst. Technol., Kanpur, 208 016, India CS

J. Chem. Soc., Chem. Commun. (1993), (1), 92-4 SO CODEN: JCCCAT; ISSN: 0022-4936

DT Journal

LA English

34-3 (Amino Acids, Peptides, and Proteins) CC

Section cross-reference(s): 6

Oxalopeptides are demonstrated to be useful core motifs for AB bi-directional chain elongation leading to peptide homologs with interesting folding behavior, thus constituting a useful strategy for protein backbone modification.

oxalopeptide core motif protein design; peptide oxalo core motif ST protein design

ITProteins, preparation

RL: PREP (Preparation)

(design of, oxalopeptides as core motifs for)

Conformation and Conformers ΙT

(of oxalopeptides)

TΤ Peptides, preparation

RL: SPN (Synthetic preparation); PREP (Preparation) (oxalo, prepn. of, as core motifs for protein design)

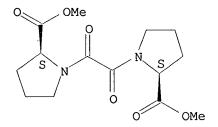
KATHLEEN FULLER EIC1700 308-4290

ΤT 79-37-8, Oxalyl chloride RL: RCT (Reactant) (acylation by, of amino acid Me esters) 2133-40-6, Proline methyl ester hydrochloride 2491-18-1, Methionine IT methyl ester hydrochloride 2491-20-5, Alanine methyl ester hydrochloride 3417-91-2, Tyrosine methyl ester hydrochloride 5680-79-5, Glycine methyl 7517-19-3, Leucine methyl ester hydrochloride ester hydrochloride 7524-52-9 15028-41-8, .alpha.-Amino isobutyric acid methyl 7524-50-7 27894-50-4 ester hydrochloride RL: RCT (Reactant) (acylation of, with oxalyl chloride) 616-34-2, Glycine methyl ester IT RL: RCT (Reactant) (peptide coupling of, with oxalopeptide) 10065-72-2, Alanine methyl ester IT RL: RCT (Reactant) (peptide coupling of, with oxalopeptide hydrazide) IT 147315-22-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and peptide coupling of, with amino acid Me esters) 147315-07-9P 147315-08-0P 147315-09-1P 147315-10-4P 147315-06-8P TT 147315-13-7P 147315-14-8P 147315-20-6P 147315-11-5P 147315-12-6P 147315-21-7P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 90197-99-2P 101508-69-4P 105206-97-1P TΤ 13673-70-6P 144901-96-2P 147315-15-9P 147315-16-0P 147315-17-1P 147315-18-2P 147315-19-3P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as core motif for bi-directional chain elongation) 13673-70-6P IT RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as core motif for bi-directional chain elongation) 13673-70-6 HCAPLUS RN

L-Proline, 1,1'-(1,2-dioxo-1,2-ethanediyl)bis-, dimethyl ester (9CI)

Absolute stereochemistry.

INDEX NAME)



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CN

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conducting SmartSELECT searches.

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L13

1 SEA FILE=REGISTRY ABB=ON 13673-70-6

=> d

L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS

RN **13673-70-6** REGISTRY

CN L-Proline, 1,1'-(1,2-dioxo-1,2-ethanediyl)bis-, dimethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Proline, 1,1'-oxalyldi-, dimethyl ester, L- (8CI)

OTHER NAMES:

CN (S)-1,1'-0xalyldi-2-pyrrolidinecarboxylic acid dimethyl ester

FS STEREOSEARCH

MF C14 H20 N2 O6

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXLIT

(*File contains numerically searchable property data)

- 6 REFERENCES IN FILE CA (1967 TO DATE)
- 6 REFERENCES IN FILE CAPLUS (1967 TO DATE)